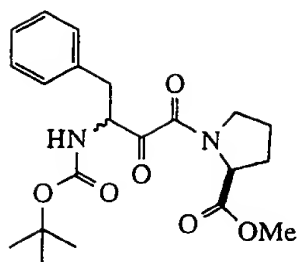
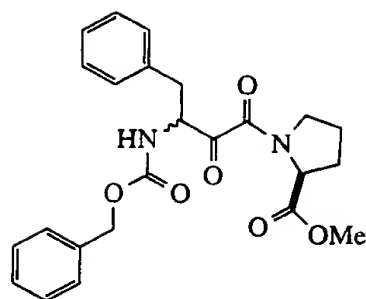
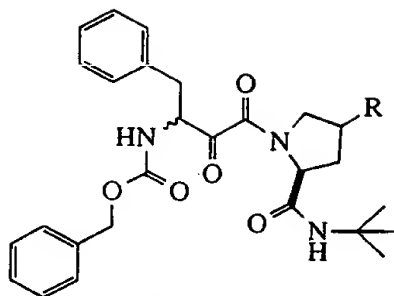


Figure 1

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1a $K_i = 6 \mu\text{M}$ 1b $K_i = 405 \text{ nM}$ 

2 R = H; $K_i = 214 \text{ nM}$
 73 R = OBn (*cis*); $K_i = 65 \text{ nM}$
 74 R = OMe (*trans*); $K_i = 220 \text{ nM}$
 75 R = OBn (*trans*); $K_i = 318 \text{ nM}$

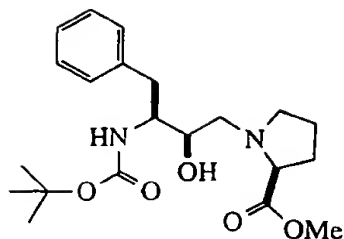
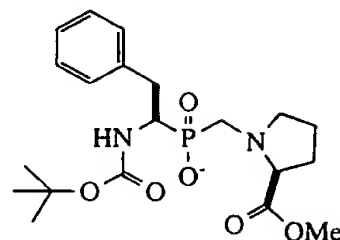
 $K_i = >50 \mu\text{M}$  $K_i = 230 \mu\text{M}$

Figure 2

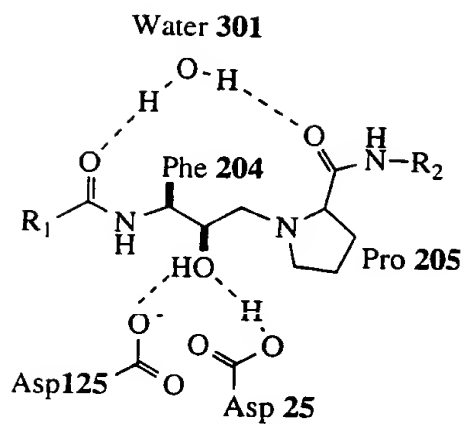


Figure 3

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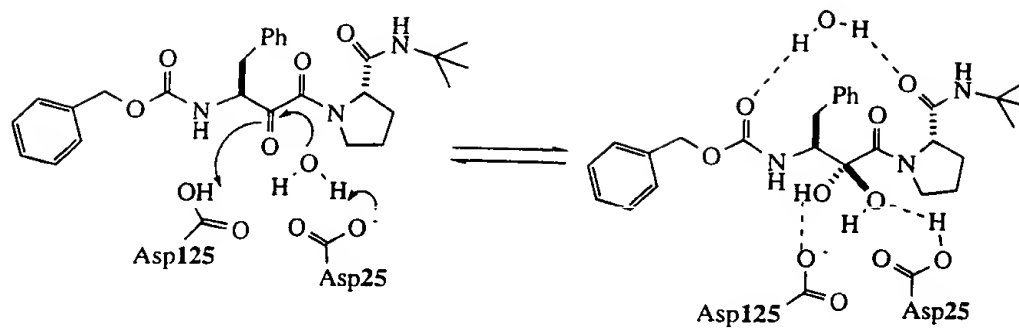


Figure 4

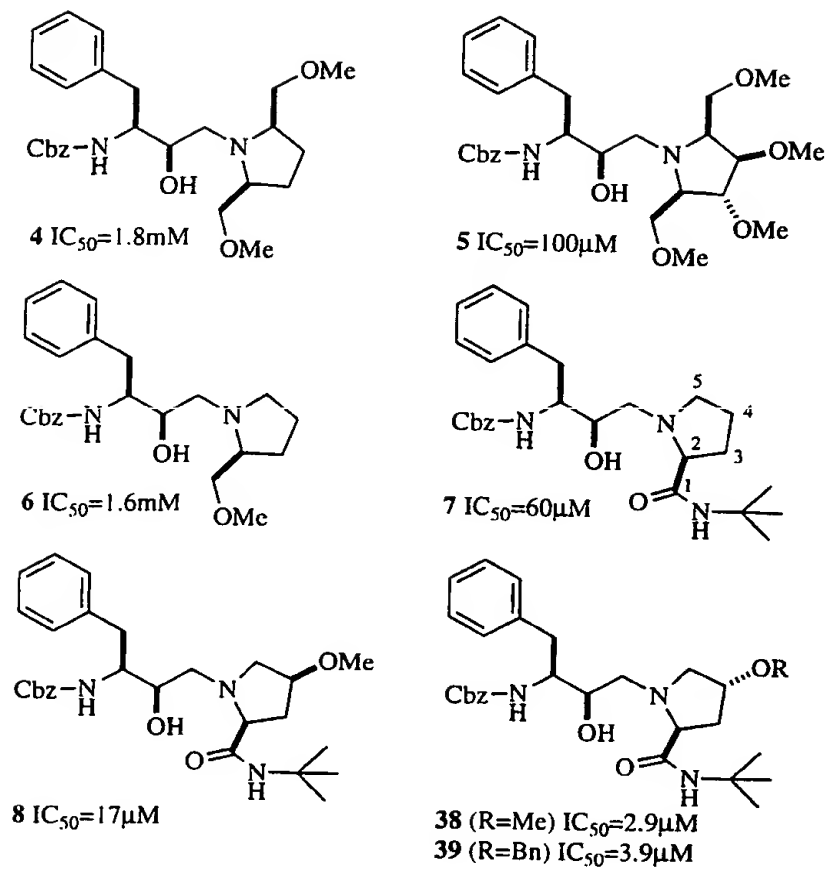


Figure 5

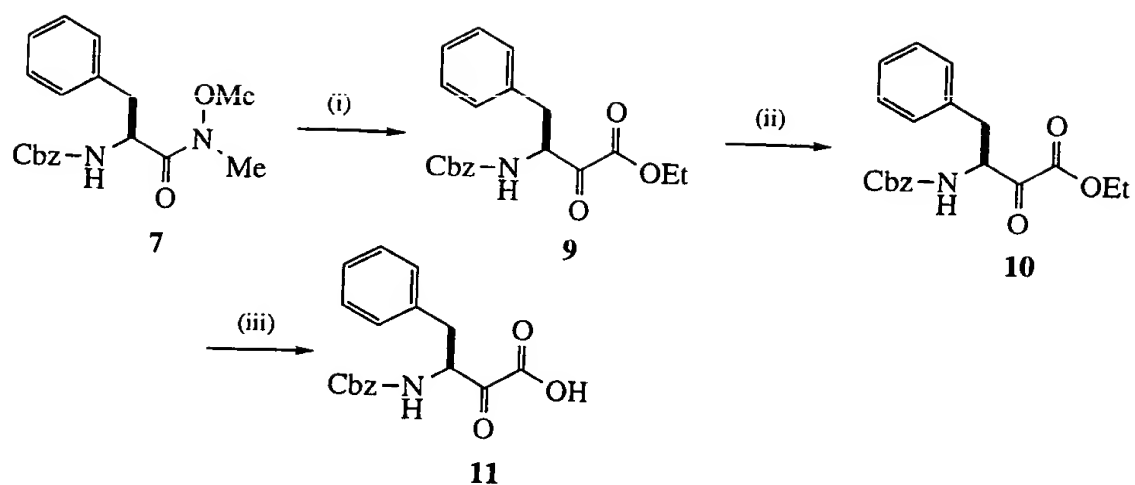


FIGURE 6

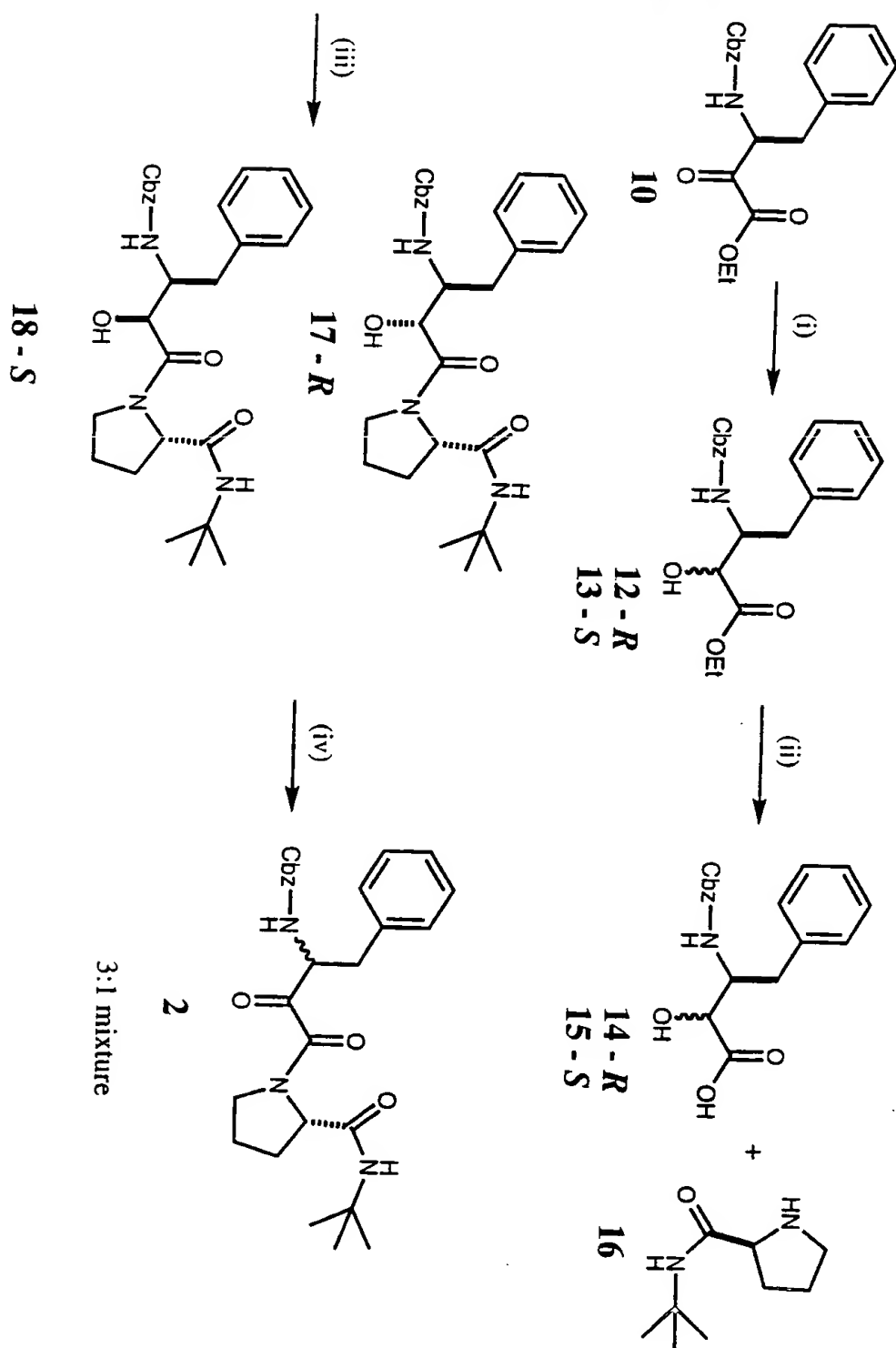


FIGURE 7

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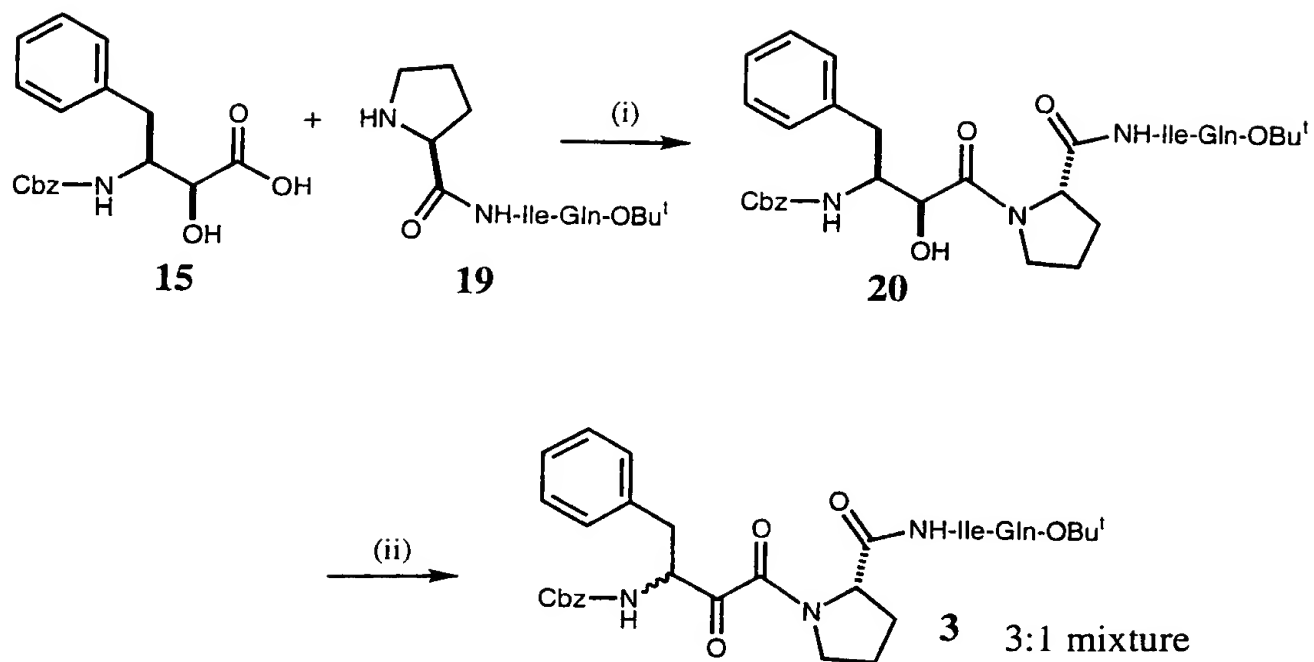


FIGURE 8

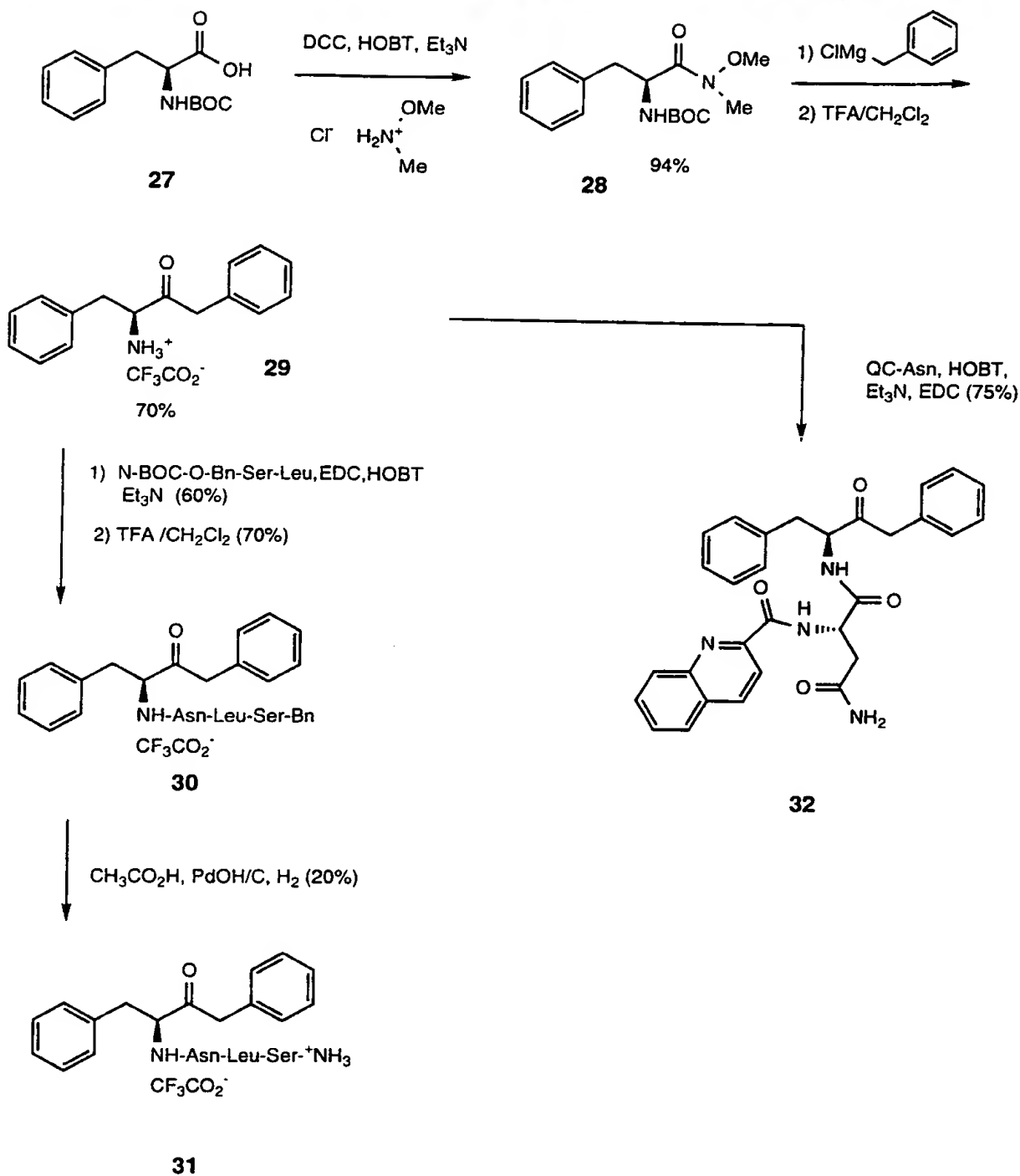


FIGURE 9

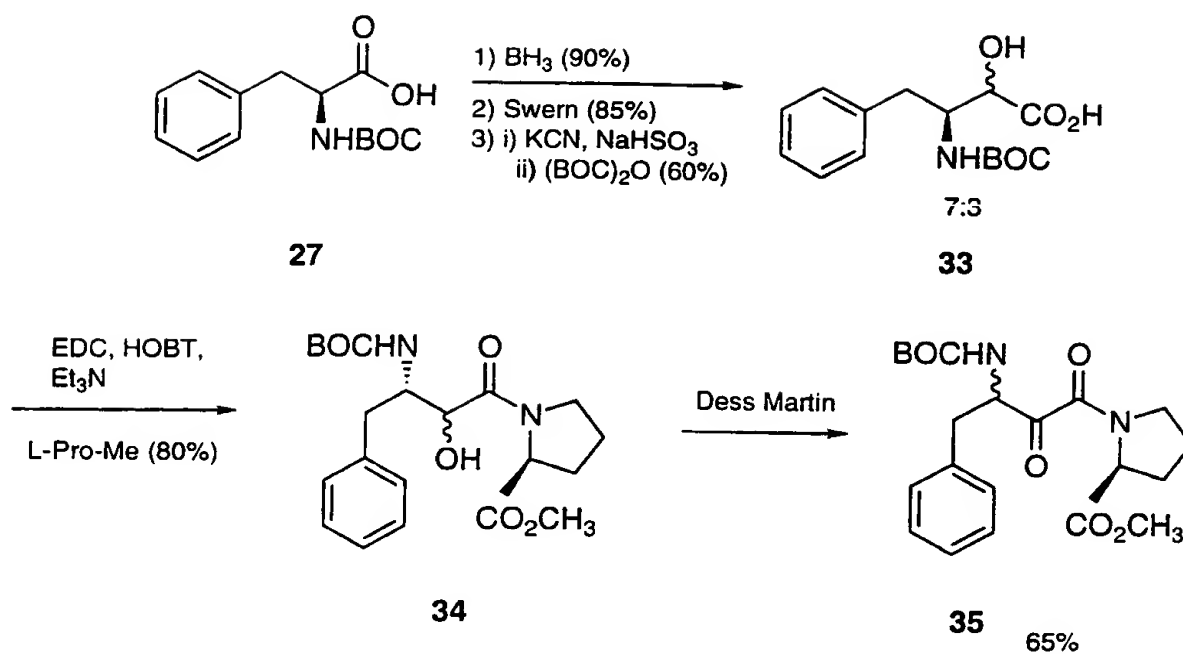


FIGURE 10

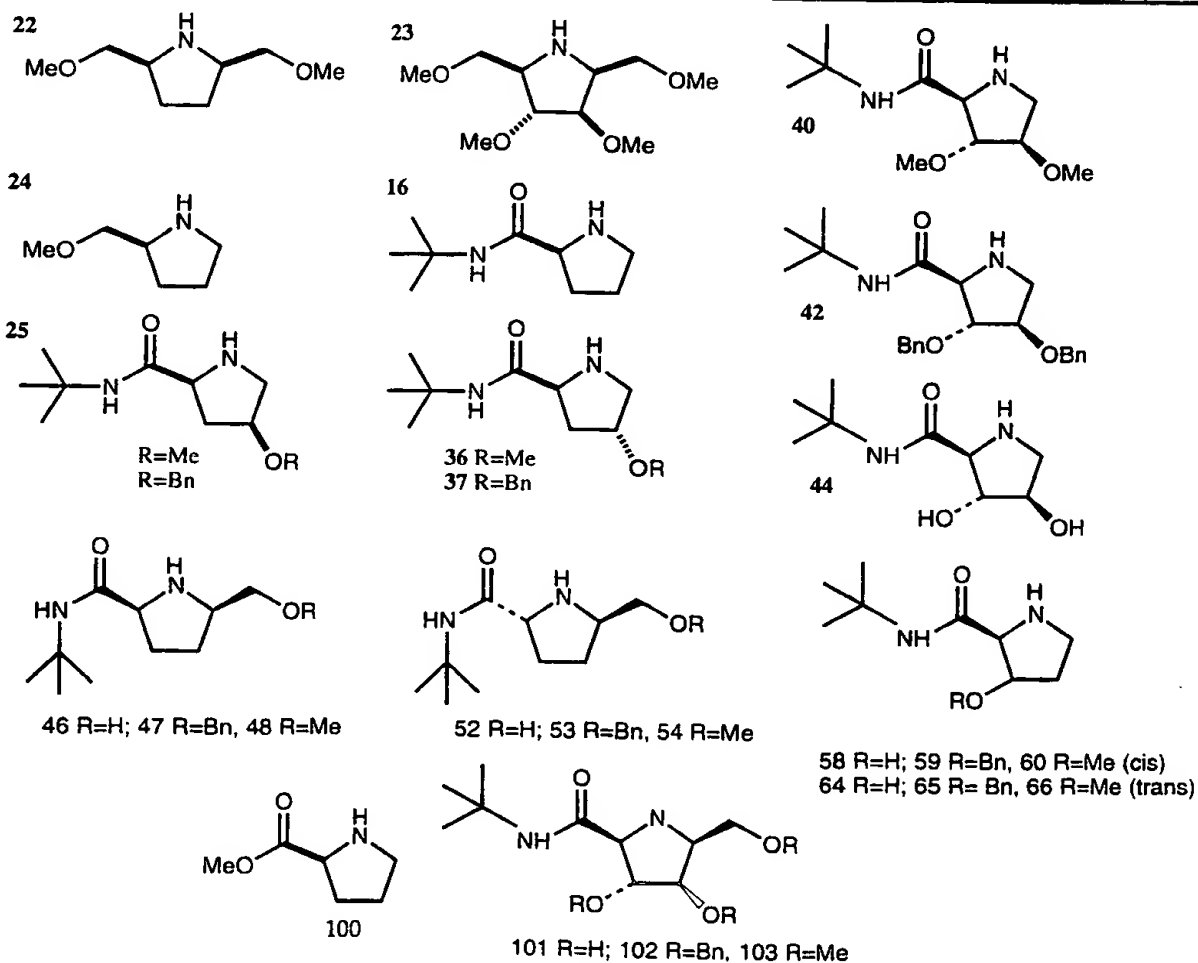
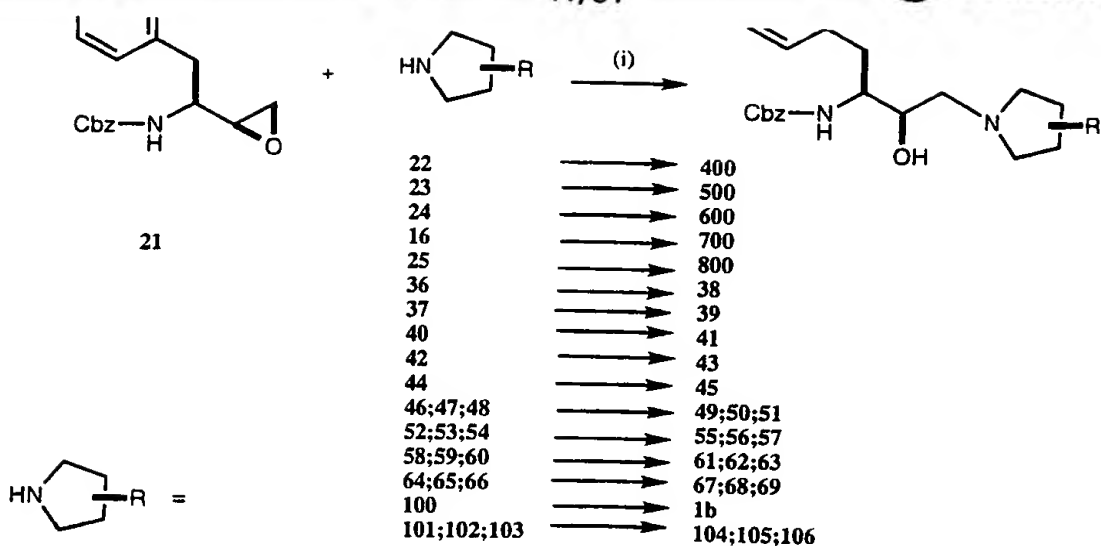
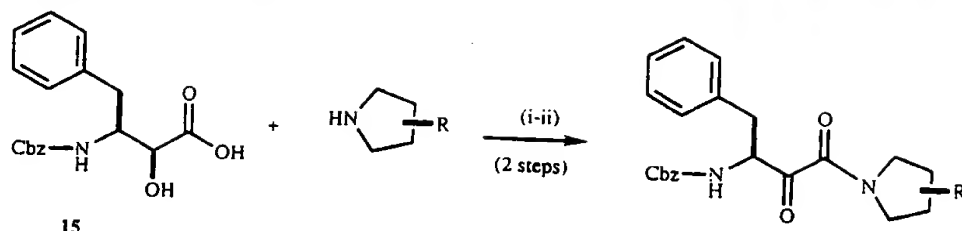


FIGURE 11

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15

22	→	70
23	→	900
24	→	71
16	→	2
25	→	73
36	→	74
37	→	75
40	→	76
42	→	77
44	→	78
46;47;48	→	79a;80a;81a
52;53;54	→	79b;80b;81b
58;59;60	→	82a;83a;84a
64;65;66	→	82b;83b;84b
100	→	1c
101;102;103	→	107;108;109

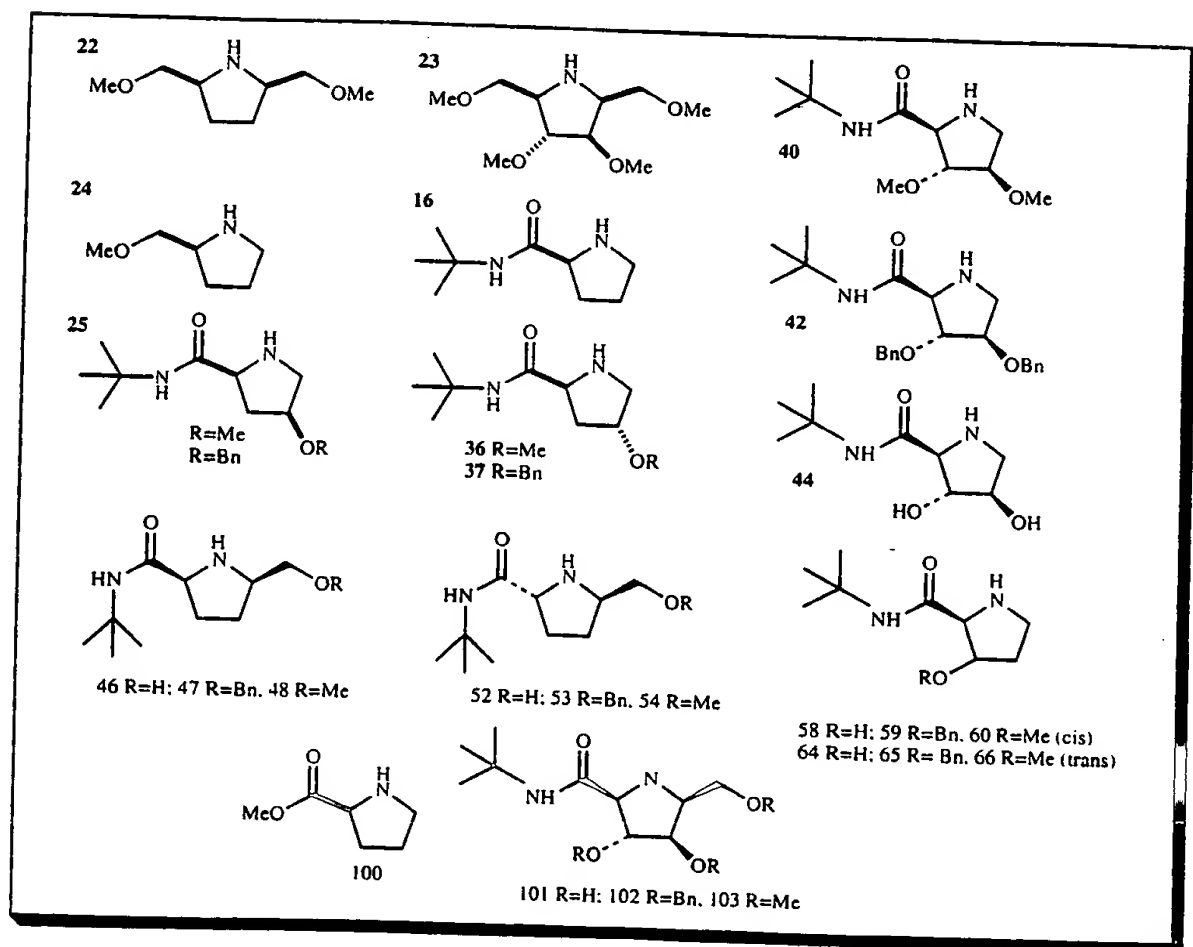
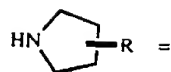
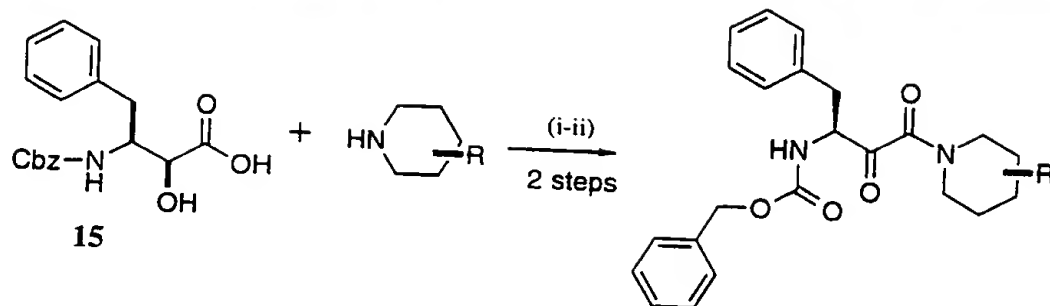


FIGURE 12

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85;86;87	→	98;99;120
88;89;90	→	121;122;123
91;92;93	→	124;125;126
94	→	127
95;96;97	→	128;129;130

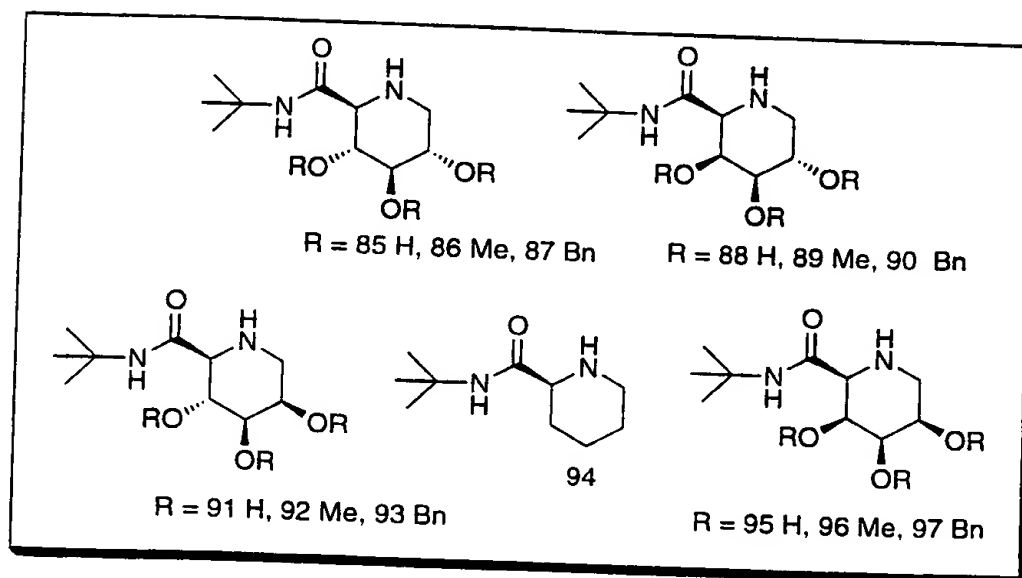
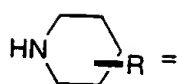


Figure 13

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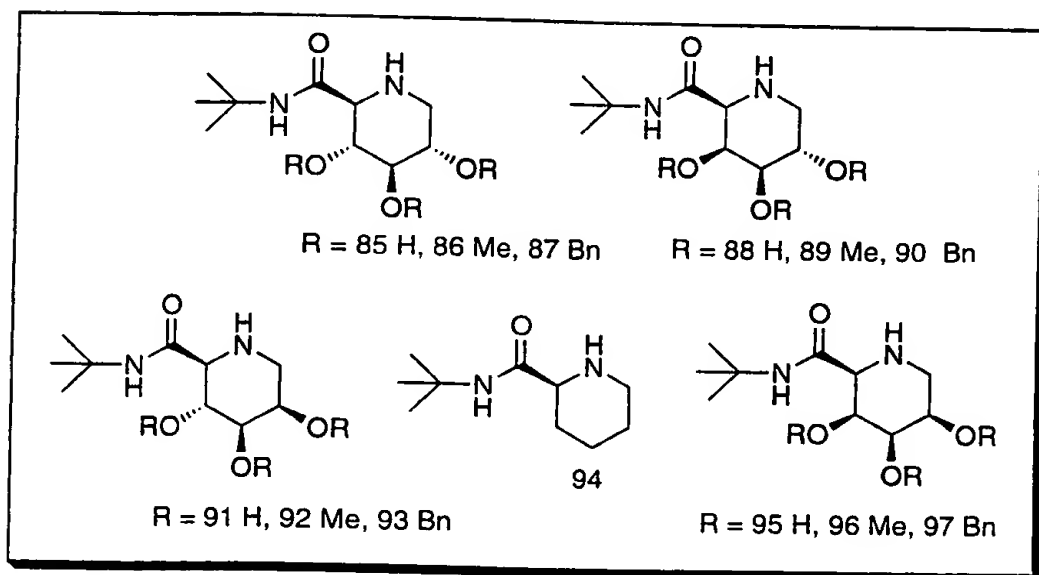
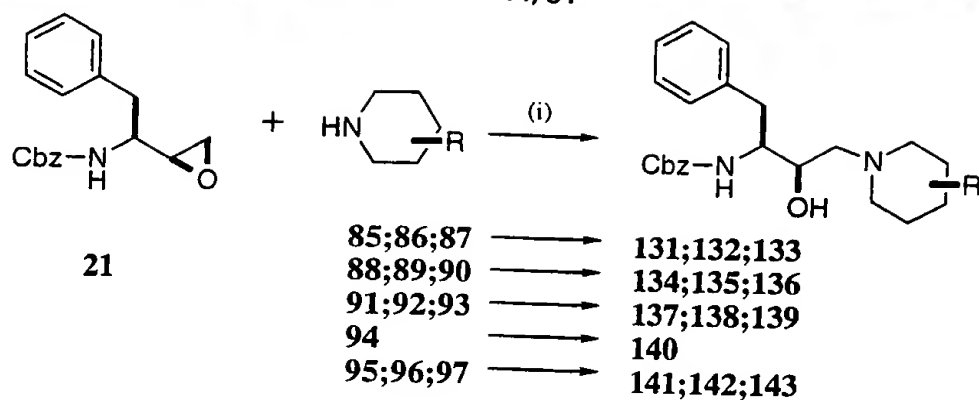


Figure 14

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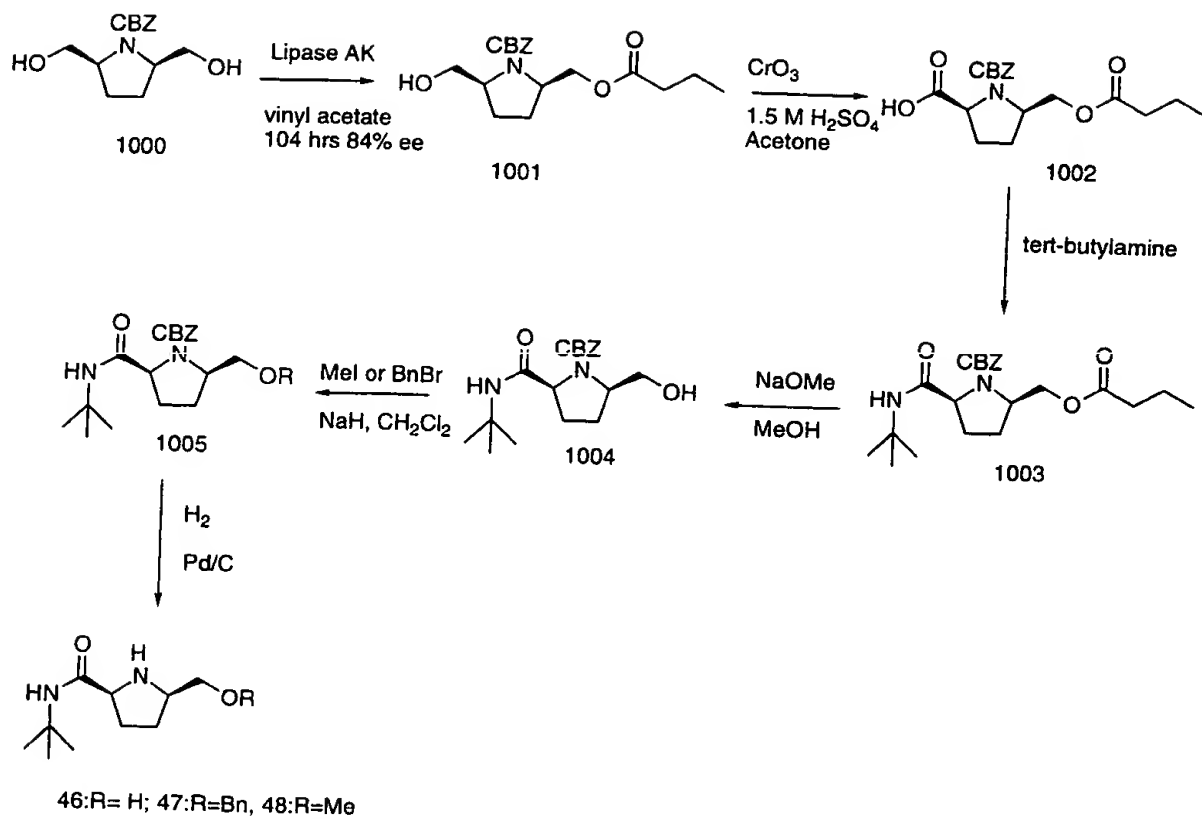


Figure 15

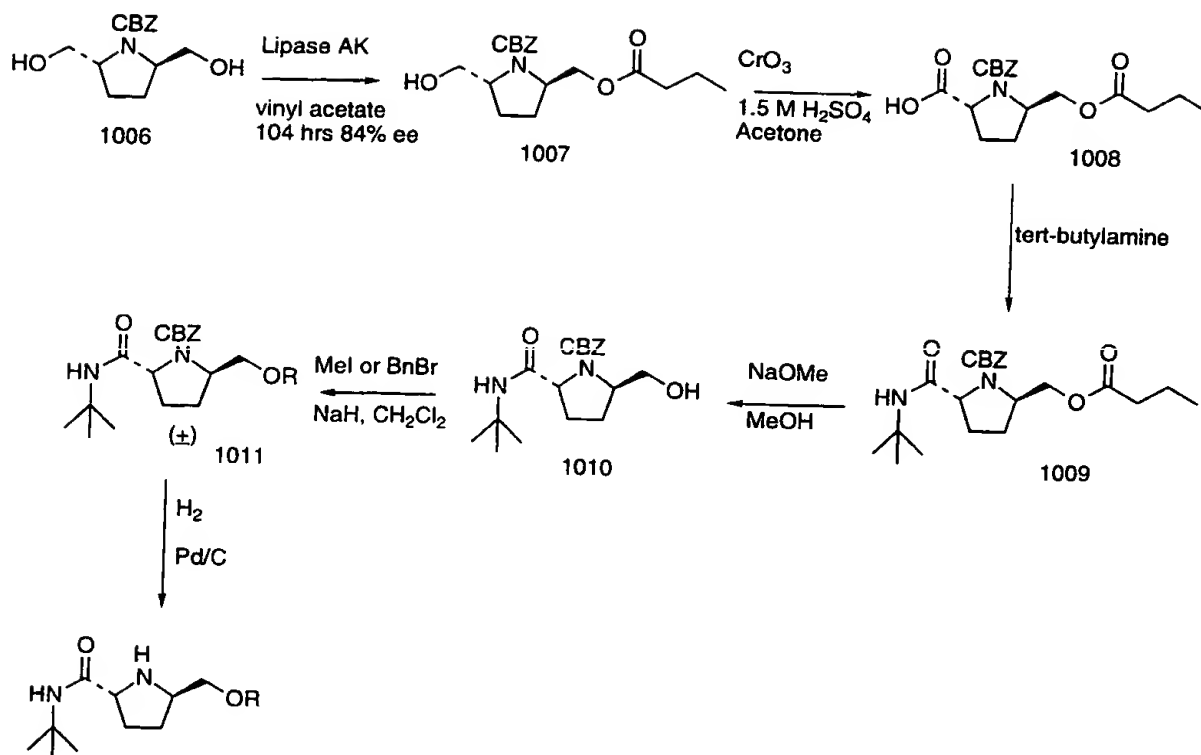


Figure 16

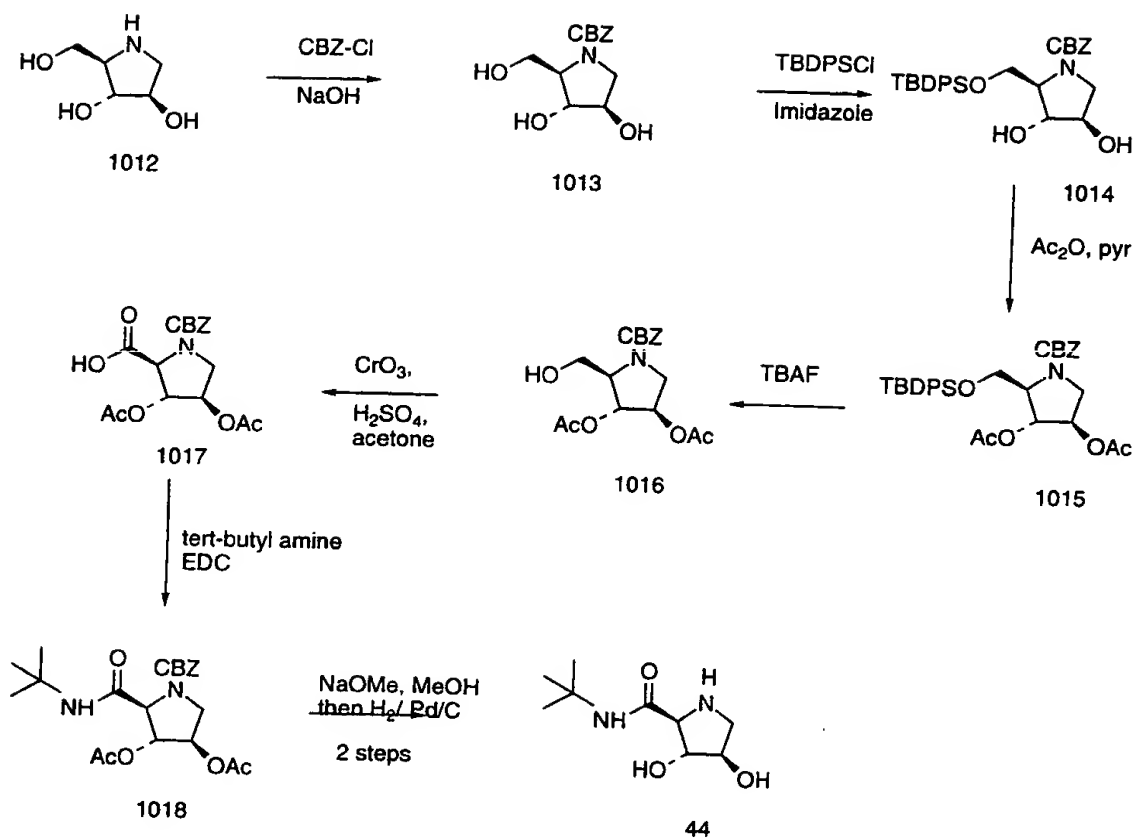


Figure 17

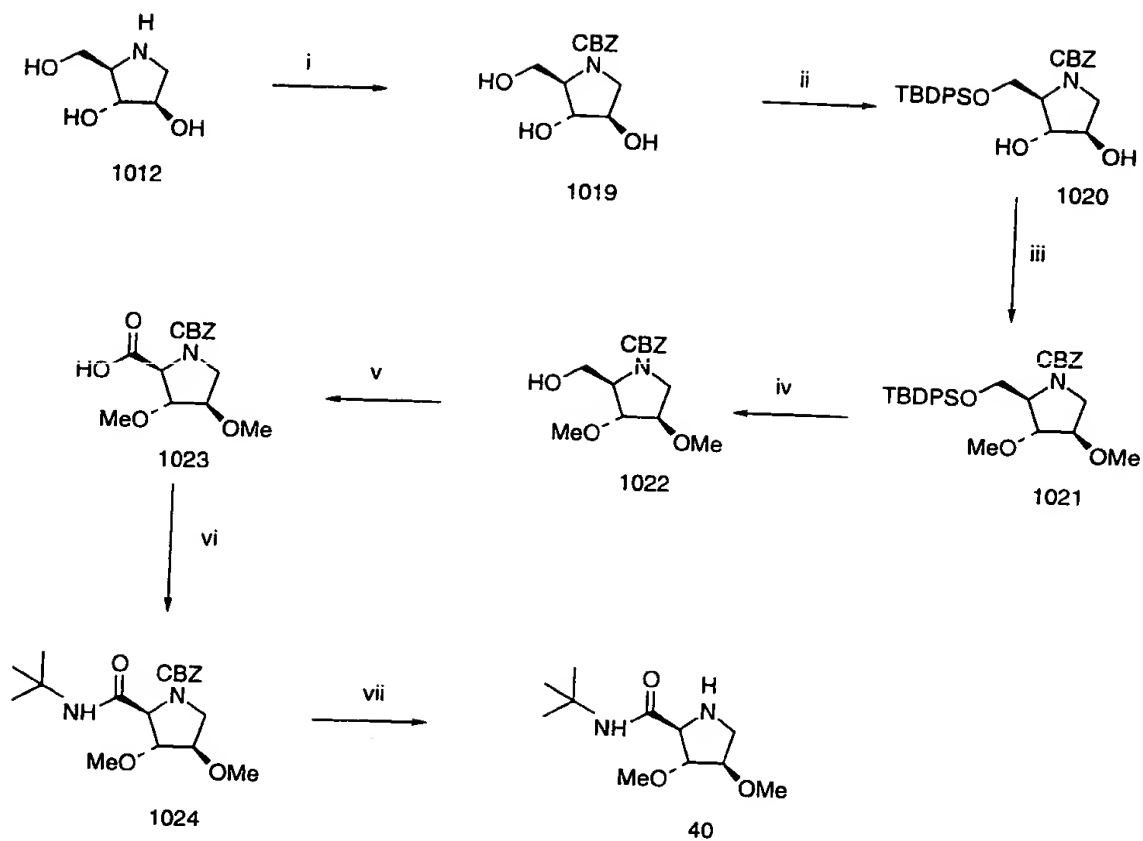


Figure 18

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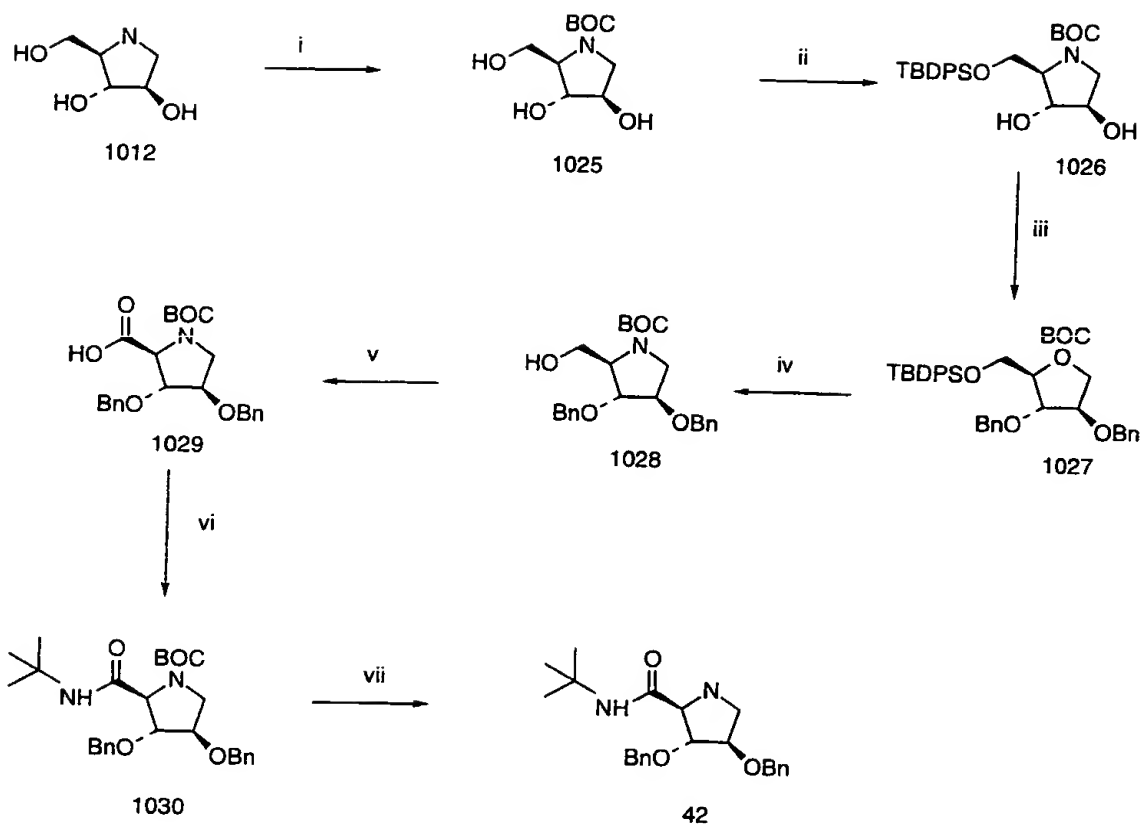


Figure 19

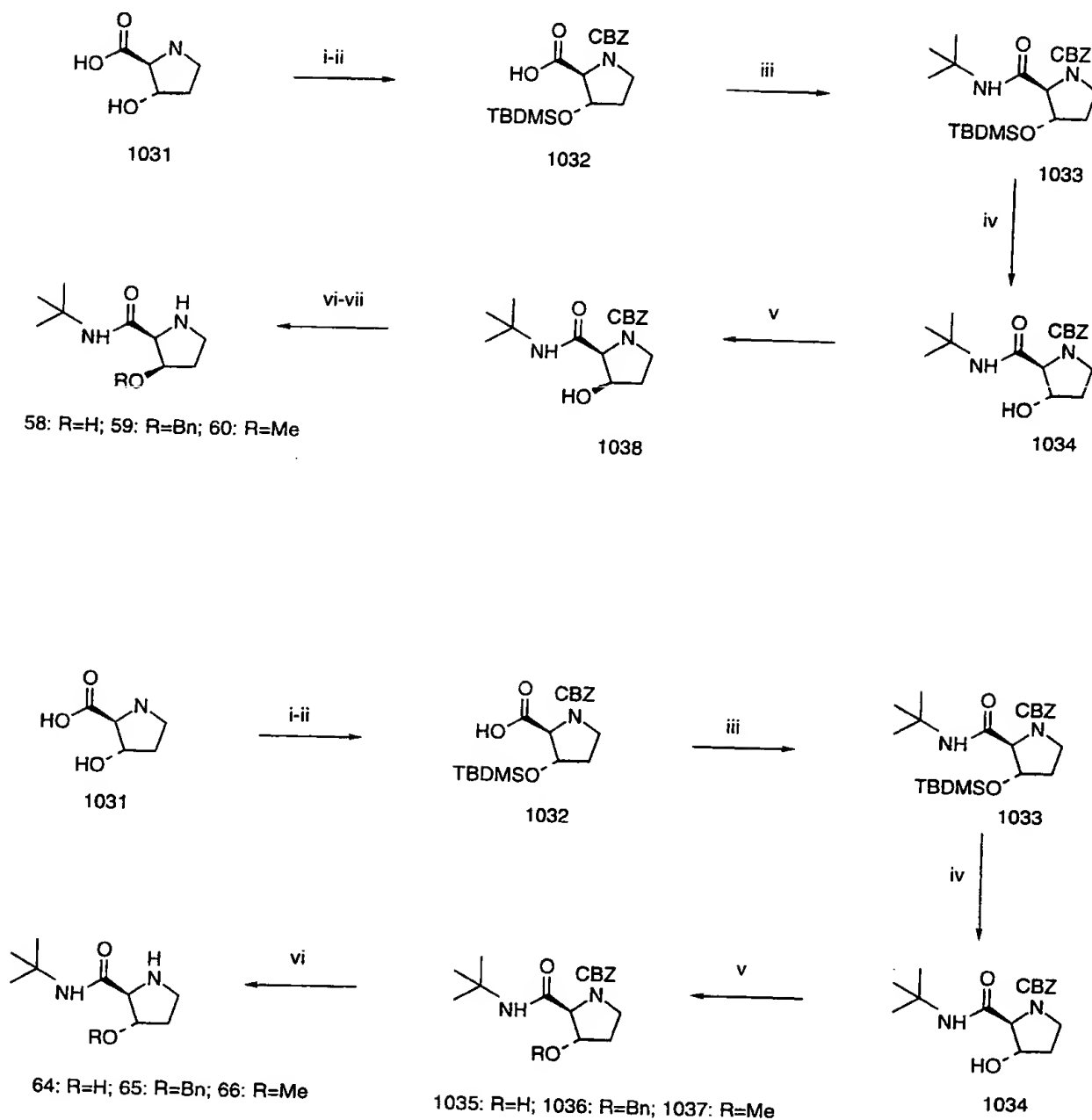


Figure 20

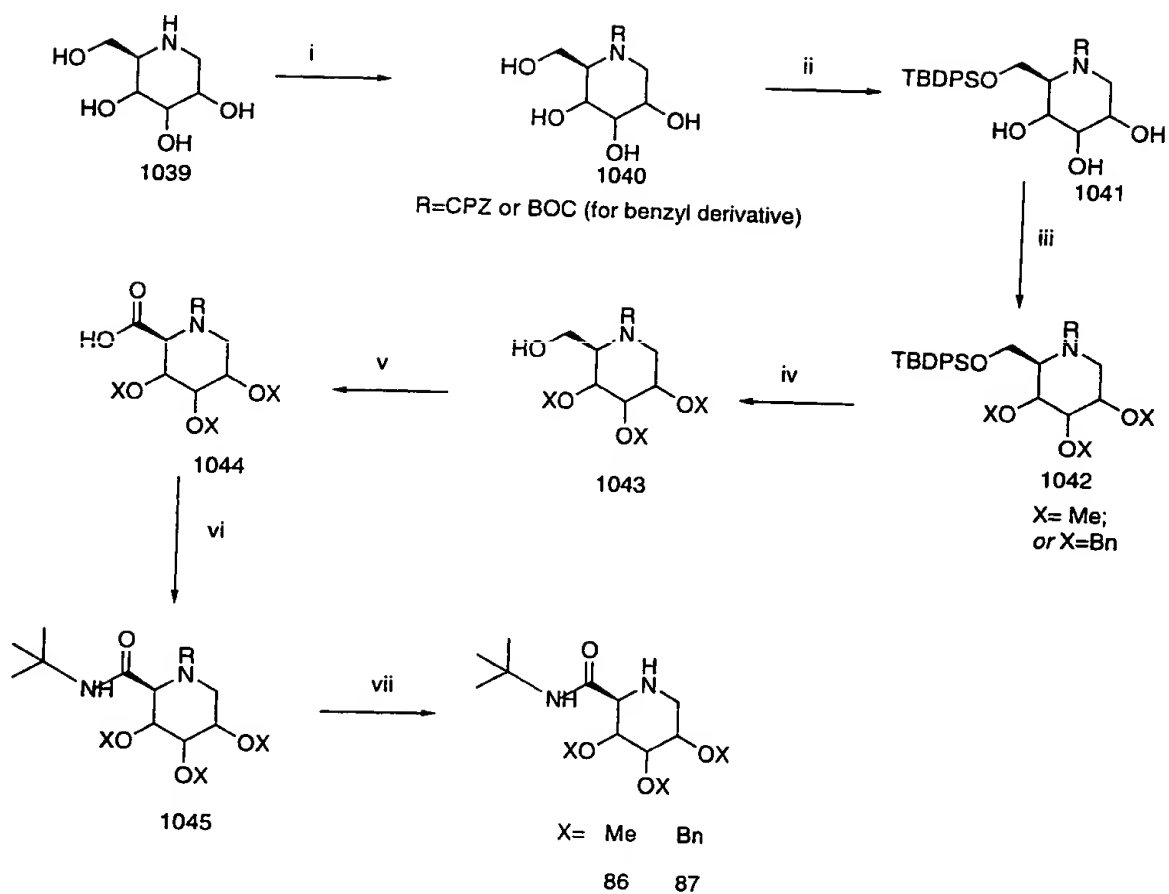


Figure 21

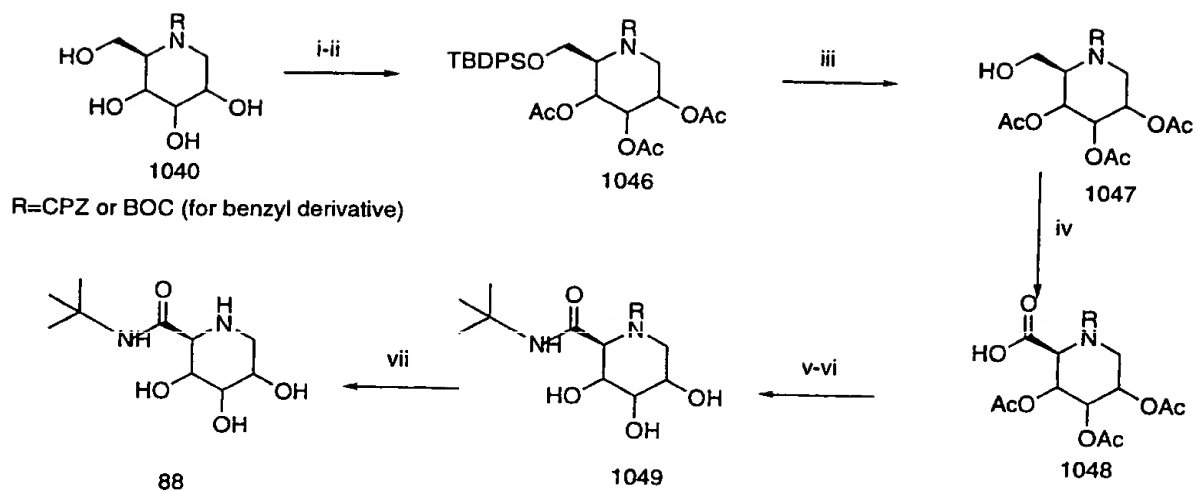
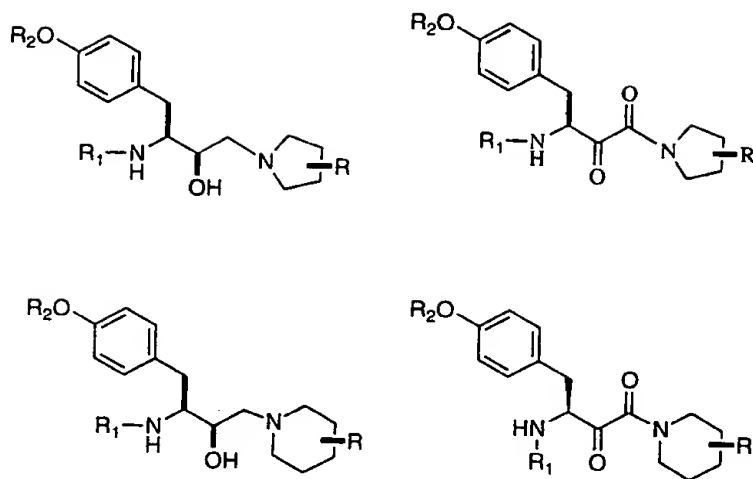


Figure 22



R = various side groups
R₁ = CBZ, BOC or other N-protecting group
R₂ = various protecting groups (H, Methyl, Benzyl, p-methoxy benzyl, tertbutyldimethylsilyl, tertbutyldiphenylsilyl etc.)

Figure 23

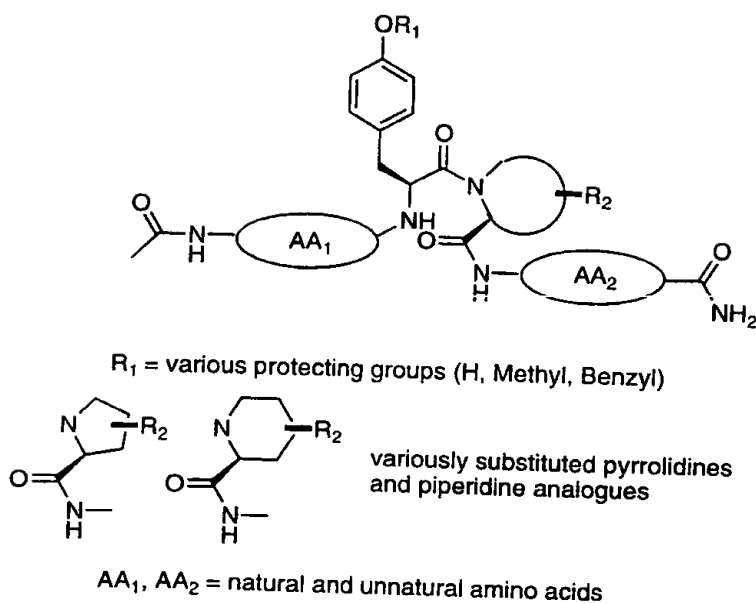


Figure 24

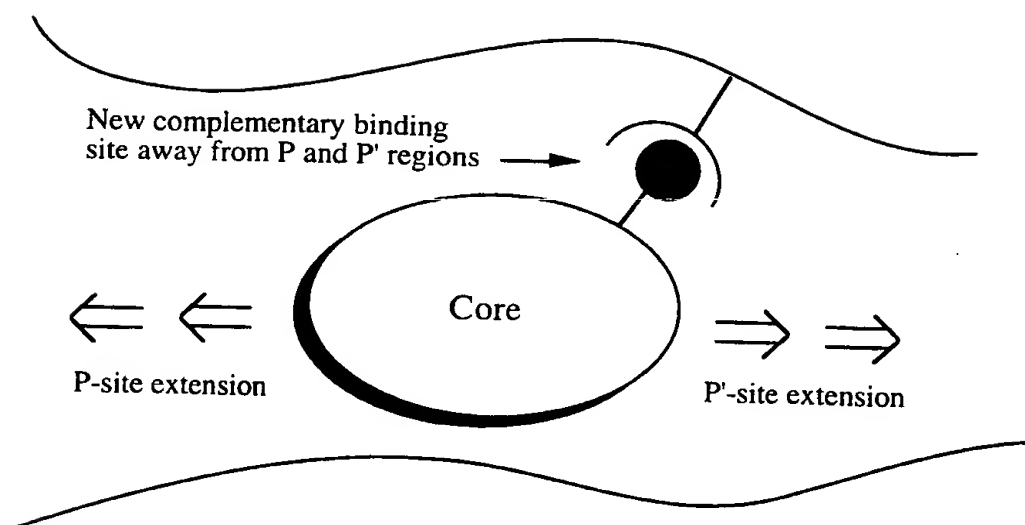


FIGURE 25

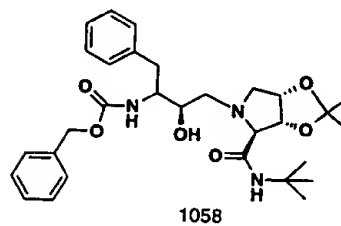
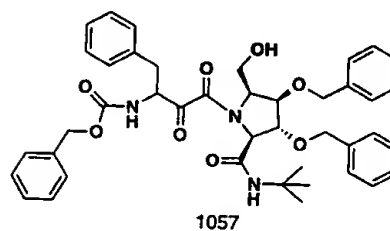
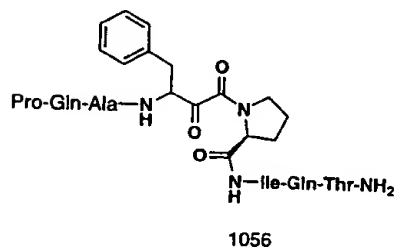
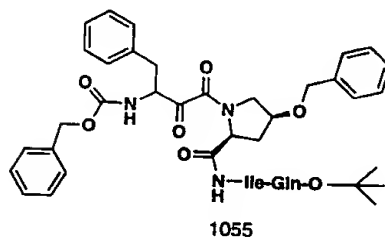
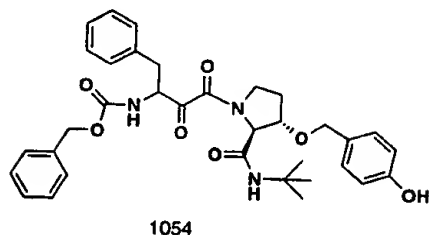
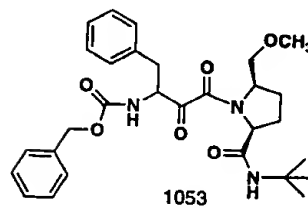
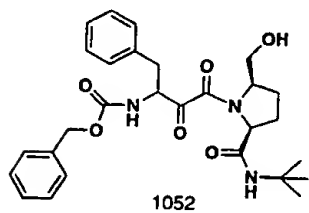
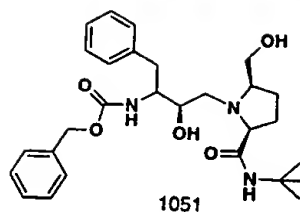
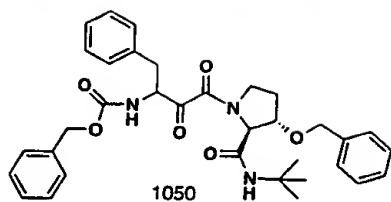


FIGURE 26

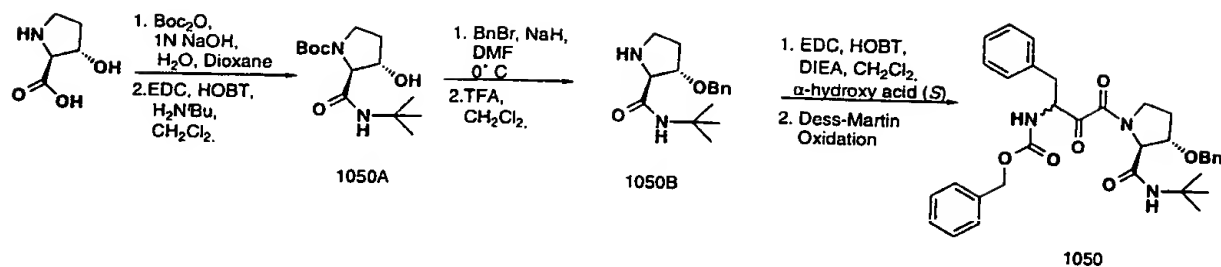


FIGURE 27

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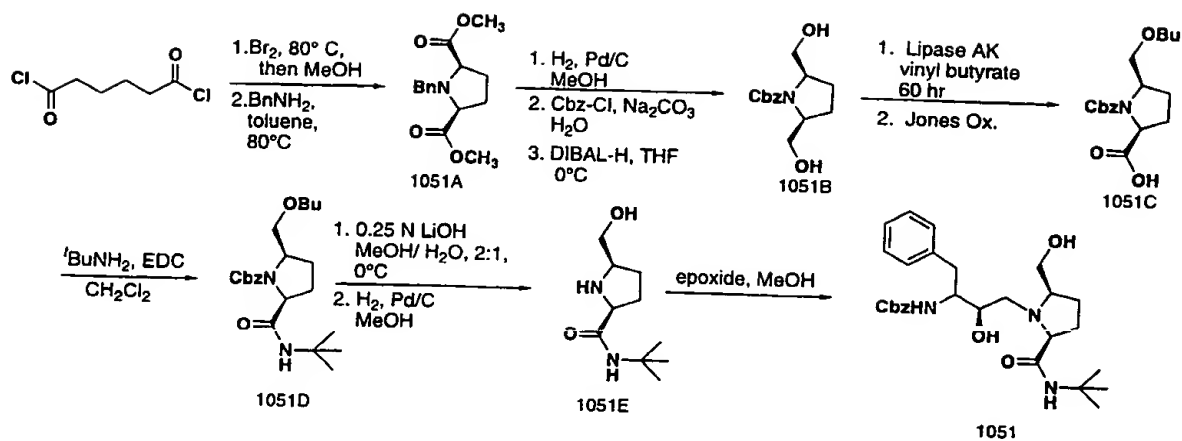
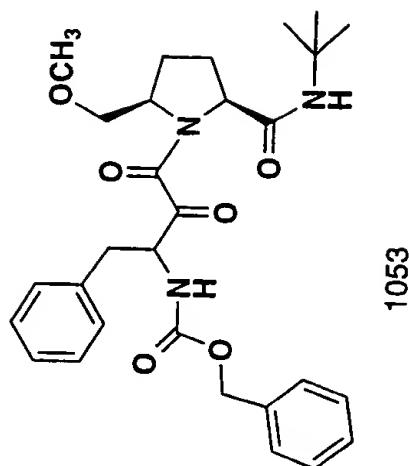
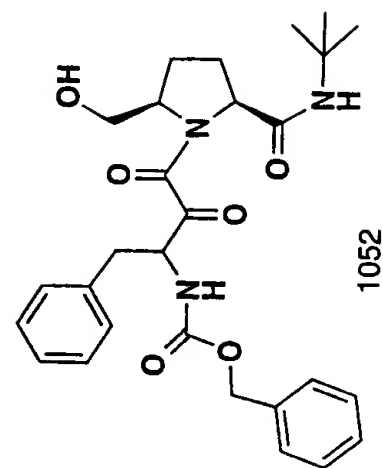


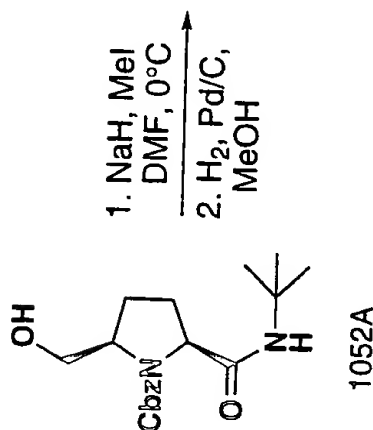
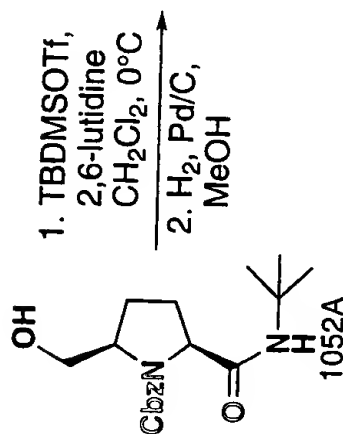
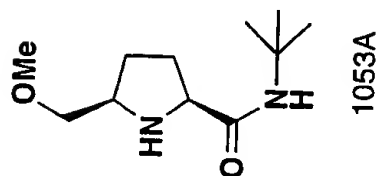
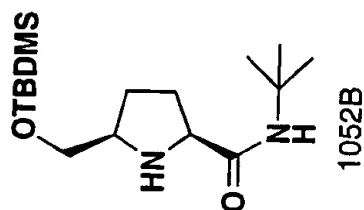
FIGURE 28

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1. α -hydroxyacid, EDC
HOBT, CH_2Cl_2
2. Dess-Martin Oxid.
3. TBAF, THF

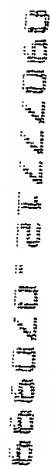
1. α -hydroxyacid, EDC
HOBT, CH_2Cl_2
2. Dess-Martin Oxid.



1. TBDMSTf,
2,6-lutidine
 CH_2Cl_2 , 0°C
2. H_2 , Pd/C,
MeOH

1. NaH, MeI
DMF, 0°C
2. H_2 , Pd/C,
MeOH

FIGURE 29

O=[N+]([O-])c1ccccc1COc2ccc(CBr)cc2Oc1ccc(CC)cc1Oc1cc(O)ccc1CC

1060

FIGURE 30

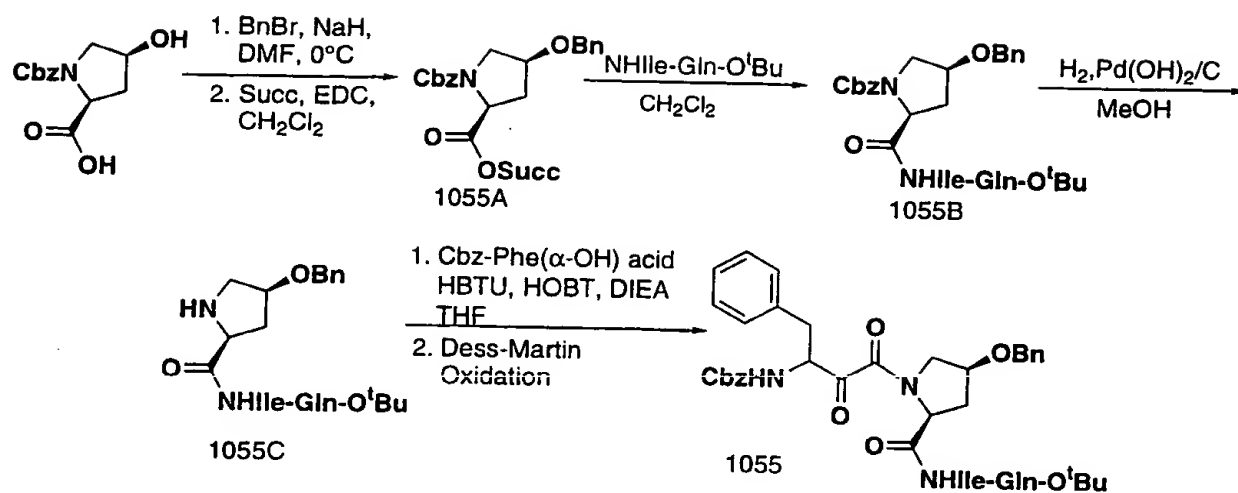


FIGURE 31

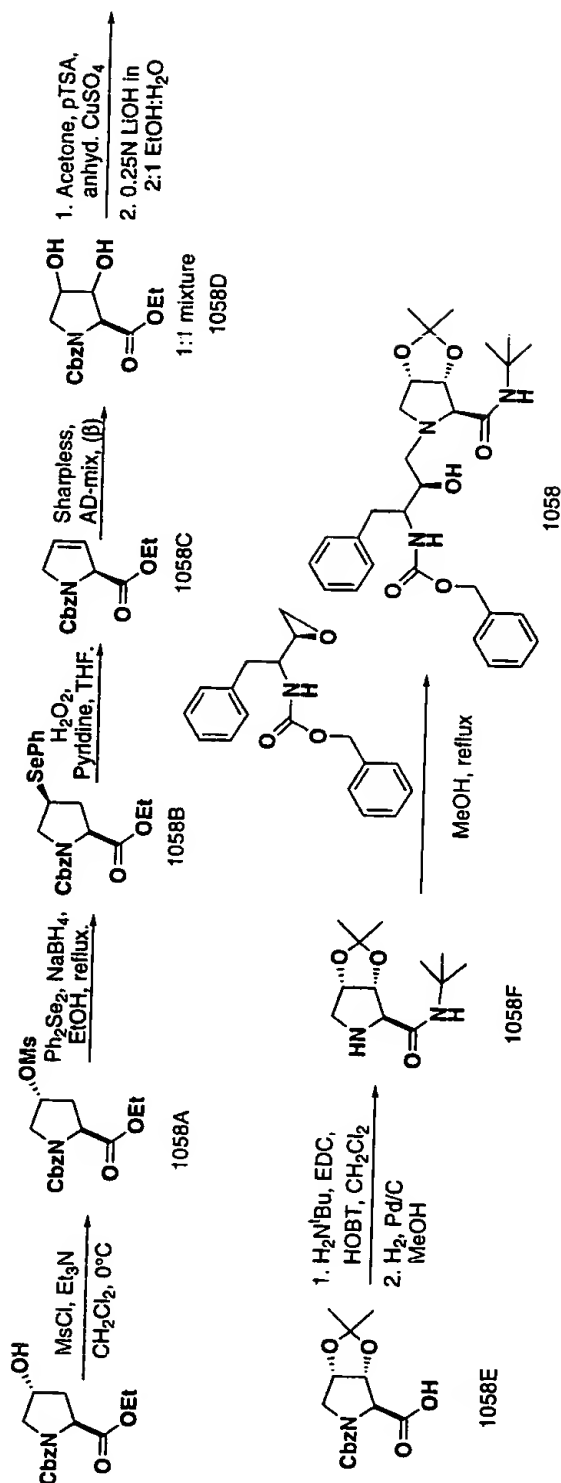


FIGURE 34